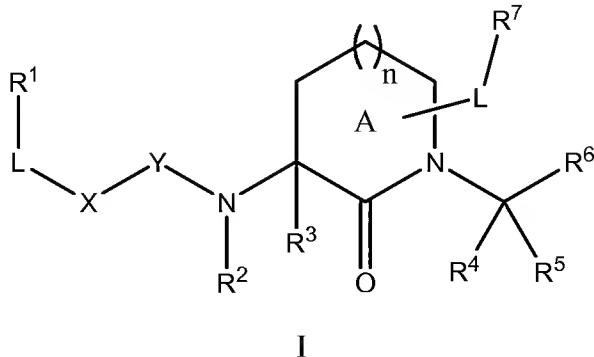


In the claims:

1. (currently amended) A compound having a structure of formula I:



or a pharmaceutically acceptable salt thereof, wherein:

R¹ is selected from the group consisting of H, alkyl, alkoxy, alkenyl, alkynyl, amino, alkylamino, acylamino, cyano, sulfonylamino, acyloxy, aryl, cycloalkyl, heterocyclyl, heteroaryl, and a polypeptide chain of 1 to 8 amino acid residues;

R² and R³ are independently selected from the group consisting of H, lower alkyl, cycloalkyl, and aralkyl; or R² and R³ together with the atoms to which they are attached[[,]] form a 4- to 6-membered heterocyclic ring;

R⁴ and R⁵ are independently selected from the group consisting of H, halogen, and alkyl;[[,]] or R⁴ and R⁵[[,]] together with the carbon to which they are attached[[,]] form a 3- to 6-membered carbocyclic or heterocyclic ring;

R⁶ is a functional group that reacts with an active site residue of a targeted protease to form a covalent adduct selected from the group consisting of cyano, boronic acid, -SO₂Z¹, -P(=O)Z¹, -C(=NH)NH₂, and -CH=NR¹²;

R¹² is selected from the group consisting of H, alkyl, alkenyl, alkynyl, -(CH₂)_p-R¹³, -(CH₂)_q-OH, -(CH₂)_q-O-alkyl, -(CH₂)_q-O-alkenyl, -(CH₂)_q-O-alkynyl, -(CH₂)_q-O-(CH₂)_p-R¹³, -(CH₂)_q-SH, -(CH₂)_q-S-alkyl, -(CH₂)_q-S-alkenyl, -(CH₂)_q-S-alkynyl, -(CH₂)_q-S-(CH₂)_p-R¹³, -C(O)NH₂, -C(O)OR¹⁴, and C(Z¹)(Z²)(Z³);

R¹³ is selected from the group consisting of H, alkyl, alkenyl, aryl, cycloalkyl, cycloalkenyl, and heterocyclyl;

R¹⁴ is selected from the group consisting of H, alkyl, alkenyl, and LR¹³;

Z¹ is a halogen;

Z² and Z³ are independently selected from the group consisting of H and halogen;

p is, independently for each occurrence, an integer from 0 to 8; and

q is, independently for each occurrence, an integer from 1 to 8;

R⁷ is absent or is one or more substituents on ring A, each of which is independently selected from the group consisting of H, lower alkyl, lower alkenyl, lower alkynyl, hydroxyl, oxo, ether, thioether, halogen, carbonyl, thiocarbonyl, amino, amido, cyano, nitro, azido, alkylamino, acylamino, aminoacyl, cyano, sulfate, sulfonate, sulfonyl, sulfonylamino, aminosulfonyl, alkoxy carbonyl, acyloxy, aryl, cycloalkyl, heterocyclyl, heteroaryl, and a polypeptide chain of 1 to 8 amino acid residues;

R⁸ is selected from the group consisting of H, aryl, alkyl, aralkyl, cycloalkyl, heterocyclyl, heteroaryl, heteroaralkyl, and a polypeptide chain of 1 to 8 amino acid residues;

L is, independently for each occurrence, absent or is selected from the group consisting of alkyl, alkenyl, alkynyl, -(CH₂)_mO(CH₂)_m-, -(CH₂)_mNR²(CH₂)_m-, and -(CH₂)_mS(CH₂)_m-;

X is absent or [[is]] selected from the group consisting of -N(R⁸)-, -O-, and -S-;

Y is absent or [[is]] selected from the group consisting of -C(=O)-, -C(=S)-, and -SO₂-;

m is, independently for each occurrence, an integer from 0 to 10; and

n is an integer from 0 to 3.

2. **(canceled)**

3. **(currently amended)** The compound of claim 1, wherein [[a]] R⁶ is a group of formula -B(Y¹)(Y²), wherein Y¹ and Y² are independently OH or a group that is hydrolysable to OH;[[,]] or together with the boron atom to which they are attached form a 5- to 8-membered ring that is hydrolysable to a boronic acid.

4. **(previously presented)** The compound of claim 1, wherein the compound is a protease inhibitor.
5. **(previously presented)** The inhibitor of claim 4, wherein the protease inhibitor inhibits dipeptidyl peptidase IV (DPIV) with a K_i of 50 nM or less.
6. **(currently amended)** The compound of claim 1, wherein the compound [[that]] is orally active in a mammal.
7. **(currently amended)** A pharmaceutical composition, comprising a pharmaceutically acceptable carrier; and a compound of claim 1, ~~or a pharmaceutically acceptable salt or prodrug thereof~~.
8. **(withdrawn-Previously Presented)** A method for inhibiting a post-proline-cleaving enzyme in a patient, comprising the step of administering to a patient in need thereof a therapeutically effective amount of a compound of claim 1.
9. **(withdrawn-Previously Presented)** The method of claim 8, wherein the compound of claim 1 increases plasma concentrations of a peptide hormone selected from glucagon-like peptide, NPY, PPY, secretin, GLP-1, GLP-2, and GIP.
10. **(withdrawn-Previously Presented)** A method for regulating glucose metabolism in a patient, comprising the step of administering to a patient in need thereof a therapeutically effective amount of a compound of claim 1.
11. **(withdrawn-Previously Presented)** The method of claim 10, wherein said patient suffers from Type II diabetes, insulin resistance, glucose intolerance, hyperglycemia, hypoglycemia, hyperinsulinemia, obesity, hyperlipidemia, or hyperlipoproteinemia.
12. **(withdrawn)** A method for inhibiting the proteolytic activity of a post-proline-cleaving enzyme, comprising contacting the enzyme with a compound of claim 1.
13. **(previously presented)** A packaged pharmaceutical, comprising a preparation of a compound of claim 1; and instructions describing the use of the preparation for inhibiting a post-proline cleaving enzyme.

14. (**previously presented**) A packaged pharmaceutical, comprising a preparation of a compound of claim 1; and instructions describing the use of the preparation for regulating glucose metabolism.

15. (**withdrawn-previously presented**) The packaged pharmaceutical of claim 14, wherein the compound of claim 1 is co-formulated with or co-packaged with insulin, an insulinotropic agent or both.

16. (**withdrawn-previously presented**) The packaged pharmaceutical of claim 14, wherein the compound of claim 1 is co-formulated with or co-packaged with one or more of an M1 receptor antagonist, a prolactin inhibitor, an agent acting on the ATP-dependent potassium channel of β -cells, metformin, and a glucosidase inhibitor.